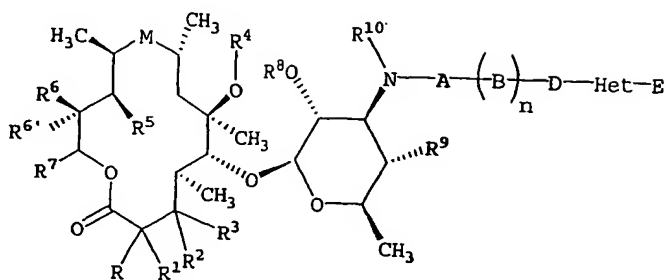
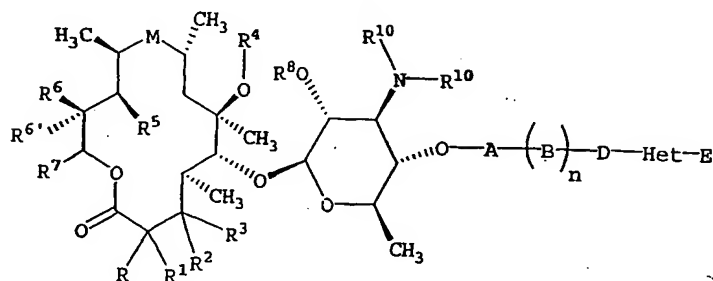


WHAT IS CLAIMED IS:

1. A compound having the formula:



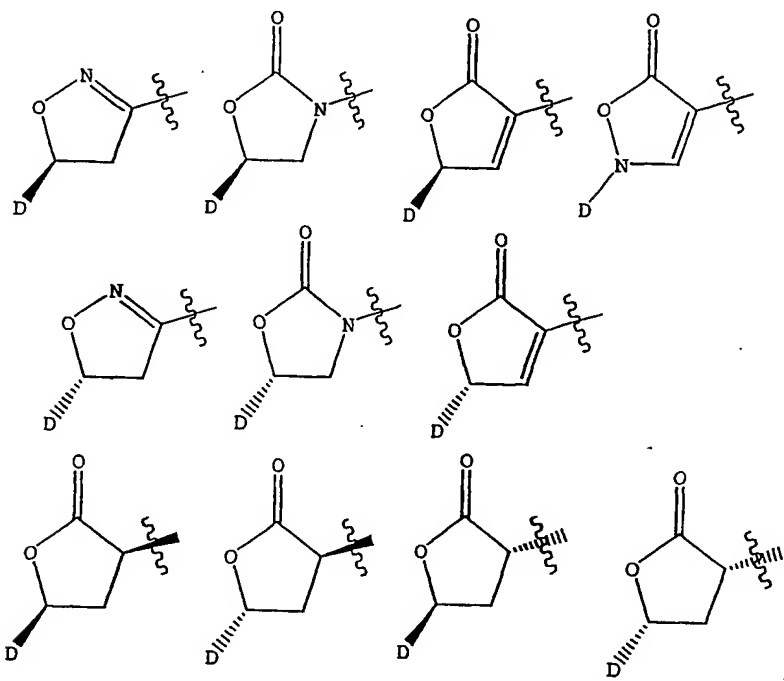
OR



or pharmaceutically acceptable salt, ester or prodrug thereof,

wherein:

D-Het is selected from the group consisting of:



9 A is selected from the group consisting of:

10 a) carbonyl, b) C₁₋₆ alkyl, c) C₂₋₆ alkenyl d) -C(O)-C₁₋₆ alkyl, and

11 e) -C(O)-C₂₋₆ alkenyl,

12 wherein

13 i) 0-2 carbon atoms of the C₁₋₆ alkyl and C₂₋₆ alkenyl groups in any
14 of b) – e) optionally are replaced by a moiety selected from the
15 group consisting of O, S(O)_p, and NR¹¹, and

16 ii) any of b) – e) optionally is substituted with one or more R¹²
17 groups;

18 B is selected from the group consisting of:

19 a) -C(O)NH-, b) -C(S)NH-, c) -NHC(O)-, d) -NHC(S)-, e) -S(O)₂NH-,

20 f) -NHS(O)₂-, g) -OC(O)NH-, h) -OC(S)NH-, i) -NHC(O)NH-, j) -NHC(S)NH-,

21 k) -NHC(O)O-, l) -NHC(S)O-, and m) -NR¹¹-;

22 n is 0 or 1;

23 D is selected from the group consisting of:

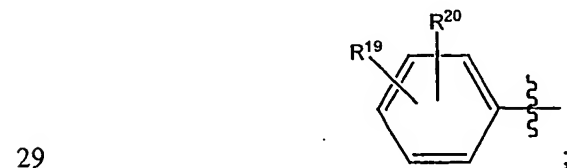
24 a) -CH₂-, b) -C(O)-, c) -C(S)-, d) -C(=NOR¹¹)-, e) -CH₂CH₂-, f) -OCH₂-,

25 g) -SCH₂-, h) -S(O)CH₂-, i) -S(O)₂CH₂-, j) -NR¹¹CH₂-, k) -C(O)CH₂-,

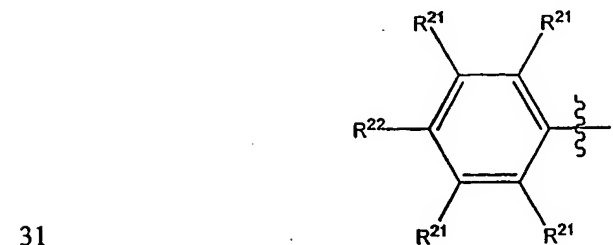
26 l) -C(S)CH₂-, and m) -C(=NOR¹¹)CH₂-;

27 E is selected from the group consisting of:

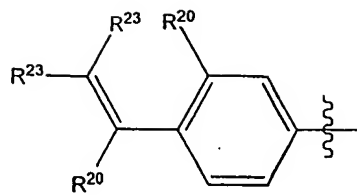
28 a)



30 b)



32 c)



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d) 5-10 membered saturated, unsaturated, or aromatic heterocycle containing one or more heteroatoms selected from the group consisting of nitrogen, oxygen, and sulfur, and optionally substituted with one or more R^{12} groups;

e) C_{5-10} saturated, unsaturated, or aromatic carbocycle, optionally substituted with one or more R^{12} groups;

f) C_{1-8} alkyl,

g) C_{2-8} alkenyl,

h) C_{2-8} alkynyl,

i) C_{1-8} alkoxy,

j) C_{1-8} alkylthio,

k) C_{1-8} acyl,

l) $S(O)_r R^{11}$; and

m) hydrogen,

wherein any of f) – k) optionally is substituted with

i) one or more R^{12} groups;

ii) 5-6 membered saturated, unsaturated, or aromatic heterocycle containing one or more heteroatoms selected from the group consisting of nitrogen, oxygen, and sulfur, and optionally substituted with one or more R^{12} groups; or

iii) C_{5-10} saturated, unsaturated, or aromatic carbocycle, optionally substituted with one or more R^{12} groups;

M is selected from the group consisting of:

a) $-C(O)-$, b) $-C(=NOR^{11})-$, c) $-CH(-OR^{11})-$, d) $-NR^{11}-CH_2-$, e) $-CH_2-NR^{11}-$,

f) $-CH(NR^{11}R^{11})-$, g) $-C(=NNR^{11}R^{11})-$, h) $-NR^{11}-C(O)-$, i) $-C(O)NR^{11}-$, and

j) $-C(=NR^{11})-$;

R is selected from the group consisting of H and C_{1-6} alkyl;

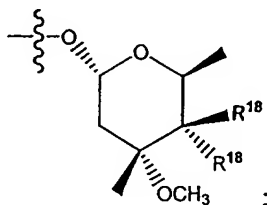
R^1 is selected from the group consisting of:

- 61 a) H, b) Cl, c) F, d) Br, e) I, f) $-NR^{11}R^{11}$ g) $-NR^{11}C(O)R^{11}$, h) $-OR^{11}$,
 62 i) $-OC(O)R^{11}$, j) $-OC(O)OR^{11}$, k) $-OC(O)NR^{11}R^{11}$, l) $-O-C_{1-6}$ alkyl- R^{12} ,
 63 m) $-OC(O)-C_{1-6}$ alkyl- R^{12} , n) $-OC(O)O-C_{1-6}$ alkyl- R^{12} ,
 64 o) $-OC(O)NR^{11}-C_{1-6}$ alkyl- R^{12} , p) C_{1-6} alkyl, q) C_{1-6} alkenyl, r) C_{1-6} alkynyl,
 65 wherein any of l) – r) optionally is substituted with one or more R^{12}
 66 groups;

67 R^2 is H;

68 R^3 is selected from the group consisting of:

- 69 a) H, b) $-OR^{11}$, c) $-O-C_{1-6}$ alkyl- R^{12} , d) $-OC(O)R^{11}$, e) $-OC(O)-C_{1-6}$ alkyl- R^{12} ,
 70 f) $-OC(O)OR^{11}$, g) $-OC(O)O-C_{1-6}$ alkyl- R^{12} , h) $-OC(O)NR^{11}R^{11}$,
 71 i) $-OC(O)NR^{11}-C_{1-6}$ alkyl- R^{12} , and
 72 j)



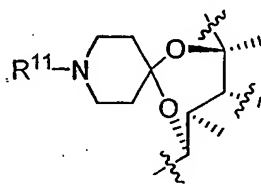
73

74 alternatively, R^2 and R^3 taken together form a carbonyl group;

75 R^4 is selected from the group consisting of:

- 76 a) H, b) R^{11} , c) $-C(O)R^{11}$ d) $-C(O)OR^{11}$ e) $-C(O)NR^{11}R^{11}$, f) $-C_{1-6}$ alkyl-G- R^{11} ,
 77 g) $-C_{2-6}$ alkenyl-G- R^{11} , and h) $-C_{2-6}$ alkynyl-G- R^{11} ;

78 alternatively R^3 and R^4 , taken together with the atoms to which they are bonded, form:



79

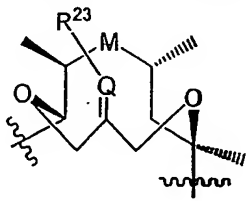
80 G is selected from the group consisting of:

- 81 a) $-C(O)-$, b) $-C(O)O-$, c) $-C(O)NR^{11}-$, d) $-C(=NR^{11})-$, e) $-C(=NR^{11})O-$,
 82 f) $-C(=NR^{11})NR^{11}-$, g) $-OC(O)-$, h) $-OC(O)O-$, i) $-OC(O)NR^{11}-$, j) $-NR^{11}C(O)-$,
 83 k) $-NR^{11}C(O)O-$, l) $-NR^{11}C(O)NR^{11}-$, m) $-NR^{11}C(=NR^{11})NR^{11}-$, and o) $-S(O)_p-$;

84 R^5 is selected from the group consisting of:

- 85 a) R^{11} , b) $-OR^{11}$, c) $-NR^{11}R^{11}$, d) $-O-C_{1-6}$ alkyl- R^{12} , e) $-C(O)-R^{11}$,
 86 f) $-C(O)-C_{1-6}$ alkyl- R^{12} , g) $-OC(O)-R^{11}$, h) $-OC(O)-C_{1-6}$ alkyl- R^{12} ,
 87 i) $-OC(O)O-R^{11}$, j) $-OC(O)O-C_{1-6}$ alkyl- R^{12} , k) $-OC(O)NR^{11}R^{11}$,
 88 l) $-OC(O)NR^{11}-C_{1-6}$ alkyl- R^{12} , m) $-C(O)-C_{2-6}$ alkenyl- R^{12} , and
 89 n) $-C(O)-C_{2-6}$ alkynyl- R^{12} ;

90 alternatively, R^4 and R^5 , taken together with the atoms to which they are bonded, form:



91

92 wherein

92

93

Q is CH or N, and

94

R^{23} is $-OR^{11}$, or R^{11} ;

95

R^6 is selected from the group consisting of:

96

a) $-OR^{11}$, b) $-C_{1-6}$ alkoxy- R^{12} , c) $-C(O)R^{11}$, d) $-OC(O)R^{11}$, e) $-OC(O)OR^{11}$,

97

f) $-OC(O)NR^{11}R^{11}$, and g) $-NR^{11}R^{11}$;

98

alternatively, R^5 and R^6 taken together with the atoms to which they are attached form a

99

5-membered ring by attachment to each other through a linker selected from the group consisting

100 of:

101

a) $-OC(R^{12})_2O-$, b) $-OC(O)O-$, c) $-OC(O)NR^{11}-$, d) $-NR^{11}C(O)O-$,

102

e) $-OC(O)NOR^{11}-$, f) $-NOR^{11}-C(O)O-$, g) $-OC(O)NNR^{11}R^{11}-$,

103

h) $-NNR^{11}R^{11}-C(O)O-$, i) $-OC(O)C(R^{12})_2-$, j) $-C(R^{12})_2C(O)O-$, k) $-OC(S)O-$,

104

l) $-OC(S)NR^{11}-$, m) $-NR^{11}C(S)O-$, n) $-OC(S)NOR^{11}-$, o) $-NOR^{11}-C(S)O-$,

105

p) $-OC(S)NNR^{11}R^{11}-$, q) $-NNR^{11}R^{11}-C(S)O-$, r) $-OC(S)C(R^{12})_2-$, and

106

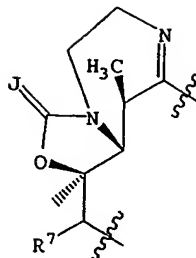
s) $-C(R^{12})_2C(S)O-$;

107

alternatively, M, R^5 , and R^6 taken together with the atoms to which they are attached

108

form:



109

110

wherein J is selected from the group consisting of O and NR^{11} ;

111

$\text{R}^{6'}$ is selected from the group consisting of

112

a) -H, b) -C_{1-4} alkyl, c) C_{2-4} alkenyl, which can be further substituted with C_{1-12}

113

alkyl or one or more halogens, d) C_{2-4} alkynyl, which can be further substituted

114

with C_{1-12} alkyl or one or more halogens, e) aryl or heteroaryl, which can be

115

further substituted with C_{1-12} alkyl or one or more halogens, f) -C(O)H , g) -

116

COOH , h) -CN , i) -COOR^{11} , j) $\text{-C(O)NR}^{11}\text{R}^{11}$, k) -C(O)R^{11} , and l) -C(O)SR^{11} ,

117

wherein b) is further substituted with one or more substituents selected from the

118

group consisting of aa) -OR^{11} , bb) halogen, cc) -SR^{11} , dd) C_{1-12} alkyl, which can

119

be further substituted with halogen, hydroxyl, C_{1-6} alkoxy, or amino, ee) -OR^{11} ,

120

ff) -SR^{11} , gg) $\text{-NR}^{11}\text{R}^{11}$, hh) -CN , ii) -NO_2 , jj) -NC(O)R^{11} , kk) -COOR^{11} , ll) -N_3 ,

121

mm) =N-O-R^{11} , nn) =NR^{11} , oo) $\text{=N-NR}^{11}\text{R}^{11}$, pp) =N-NH-C(O)R^{11} , and qq) =N-

122

$\text{NH-C(O)NR}^{11}\text{R}^{11}$;

123

alternatively R^6 and $\text{R}^{6'}$ are taken together with the atom to which they are attached to

124

form an epoxide, a carbonyl, an olefin, or a substituted olefin, or a $\text{C}_3\text{-C}_7$ carbocyclic, carbonate,

125

or carbamate, wherein the nitrogen of said carbamate can be further substituted with a $\text{C}_1\text{-C}_6$

126

alkyl;

127

R^7 is selected from the group consisting of:

128

a) C_{1-6} alkyl, b) C_{2-6} alkenyl, and c) C_{2-6} alkynyl,

129

wherein any of a) - c) optionally is substituted with one or more R^{12}

130

groups;

131

R^8 is selected from the group consisting of H and -C(O)R^{11} ;

132

R^9 is selected from the group consisting of H, OH, and OR^{11} ;

133

R^{10} is selected from the group consisting of:

134

a) H, b) R^{11} , c) -C_{1-6} alkyl- G-R^{12} , d) -C_{2-6} alkenyl- G-R^{12} , and

135

e) -C_{2-6} alkynyl- G-R^{12} ,

136 wherein the C₁₋₆-alkyl, C₂₋₆ alkenyl, and C₂₋₆ alkynyl group in any of
137 c) - e) optionally is substituted with one or more R¹² groups;

138 R¹¹, at each occurrence, independently is selected from the group consisting of:

139 a) H, b) C₁₋₆ alkyl, c) C₂₋₆ alkenyl, d) C₂₋₆ alkynyl, e) C₆₋₁₀ saturated, unsaturated,
140 or aromatic carbocycle, f) 3-12 membered saturated, unsaturated, or aromatic
141 heterocycle containing one or more heteroatoms selected from the group
142 consisting of nitrogen, oxygen, and sulfur, g) -C(O)-C₁₋₆ alkyl,
143 h) -C(O)-C₂₋₆ alkenyl, i) -C(O)-C₂₋₆ alkynyl, j) -C(O)-C₆₋₁₀ saturated, unsaturated,
144 or aromatic carbocycle, k) -C(O)-3-12 membered saturated, unsaturated, or
145 aromatic heterocycle containing one or more heteroatoms selected from the group
146 consisting of nitrogen, oxygen, sulfur, l) -C(O)O-C₁₋₆ alkyl,
147 m) -C(O)O-C₂₋₆ alkenyl, n) -C(O)O-C₂₋₆ alkynyl, o) -C(O)O-C₆₋₁₀ saturated,
148 unsaturated, or aromatic carbocycle, p) -C(O)O-3-12 membered saturated,
149 unsaturated, or aromatic heterocycle containing one or more heteroatoms selected
150 from the group consisting of nitrogen, oxygen, and sulfur, and q) -
151 C(O)NR¹³R¹³,

152 wherein any of b) - p) optionally is substituted with one or more R¹²
153 groups,

154 alternatively, NR¹¹R¹¹ forms a 3-7 membered saturated, unsaturated or aromatic ring
155 including the nitrogen atom to which the R¹¹ groups are bonded and optionally one or more
156 moieties selected from the group consisting of: O, S(O)_p, and NR¹⁵;

157 R¹² is selected from the group consisting of:

158 a) R¹⁴, b) C₁₋₈ alkyl, c) C₂₋₈ alkenyl, d) C₂₋₈ alkynyl, e) C₃₋₁₂ saturated,
159 unsaturated, or aromatic carbocycle, f) 3-12 membered saturated, unsaturated, or
160 aromatic heterocycle containing one or more heteroatoms selected from the group
161 consisting of nitrogen, oxygen, and sulfur, and g) -NR¹⁵C(O)OR¹⁵,

162 wherein any of b) - f) optionally is substituted with one or more R¹⁴
163 groups;

164 R¹³, at each occurrence, independently is selected from the group consisting of:

165 a) H, b) C₁₋₆ alkyl, c) C₂₋₆ alkenyl, d) C₂₋₆ alkynyl, e) C₃₋₁₀ saturated, unsaturated,
166 or aromatic carbocycle, and f) 3-10 membered saturated, unsaturated, or aromatic

167 heterocycle containing one or more heteroatoms selected from the group
 168 consisting of nitrogen, oxygen, and sulfur,
 169 wherein any of b) – f) optionally is substituted with one or more moieties selected from the
 170 group consisting of:

171 carbonyl; formyl; F; Cl; Br; I; CN; NO₂; OR¹⁵; -S(O)_pR¹⁵;
 172 -C(O)R¹⁵; -C(O)OR¹⁵; -OC(O)R¹⁵; -C(O)NR¹⁵R¹⁵;
 173 -OC(O)NR¹⁵R¹⁵; -C(=NR¹⁵)R¹⁵; -C(R¹⁵)(R¹⁵)OR¹⁵;
 174 -C(R¹⁵)₂OC(O)R¹⁵; -C(R¹⁵)(OR¹⁵)(CH₂)_tNR¹⁵R¹⁵; -NR¹⁵R¹⁵;
 175 -NR¹⁵OR¹⁵; -NR¹⁵C(O)R¹⁵; -NR¹⁵C(O)OR¹⁵; -NR¹⁵C(O)NR¹⁵R¹⁵;
 176 -NR¹⁵S(O)_pR¹⁵; -C(OR¹⁵)(OR¹⁵)R¹⁵; -C(R¹⁵)₂NR¹⁵R¹⁵; =NR¹⁵;
 177 -C(S)NR¹⁵R¹⁵; -NR¹⁵C(S)R¹⁵; -OC(S)NR¹⁵R¹⁵; -NR¹⁵C(S)OR¹⁵;
 178 -NR¹⁵C(S)NR¹⁵R¹⁵; -SC(O)R¹⁵; C₁₋₈ alkyl, C₂₋₈ alkenyl;
 179 C₂₋₈ alkynyl; C₁₋₈ alkoxy; C₁₋₈ alkylthio; C₁₋₈ acyl; saturated,
 180 unsaturated, or aromatic C₃₋₁₀ carbocycle; and saturated,
 181 unsaturated, or aromatic 3-10 membered heterocycle containing
 182 one or more heteroatoms selected from the group consisting of
 183 nitrogen, oxygen, and sulfur,

184 alternatively, NR¹³R¹³ forms a 3-10 membered saturated, unsaturated or aromatic ring
 185 including the nitrogen atom to which the R¹³ groups are attached and optionally one or more
 186 moieties selected from the group consisting of O, S(O)_p, NR¹⁵, and N;

187 alternatively, CR¹³R¹³ forms a carbonyl group;

188 R¹⁴, at each occurrence, is selected from the group consisting of:

189 a) H, b) carbonyl, c) F, d) Cl, e) Br, f) I, g) (CR¹³R¹³)_rCF₃, h) (CR¹³R¹³)_rCN,
 190 i) (CR¹³R¹³)_rNO₂, j) (CR¹³R¹³)_rNR¹³(CR¹³R¹³)_tR¹⁶, k) (CR¹³R¹³)_rOR¹⁶,
 191 l) (CR¹³R¹³)_rS(O)_p(CR¹³R¹³)_tR¹⁶, m) (CR¹³R¹³)_rC(O)(CR¹³R¹³)_tR¹⁶,
 192 n) (CR¹³R¹³)_rOC(O)(CR¹³R¹³)_tR¹⁶, o) (CR¹³R¹³)_rSC(O)(CR¹³R¹³)_tR¹⁶,
 193 p) (CR¹³R¹³)_rC(O)O(CR¹³R¹³)_tR¹⁶, q) (CR¹³R¹³)_rNR¹³C(O)(CR¹³R¹³)_tR¹⁶,
 194 r) (CR¹³R¹³)_rC(O)NR¹³(CR¹³R¹³)_tR¹⁶, s) (CR¹³R¹³)_rC(=NR¹³)(CR¹³R¹³)_tR¹⁶,
 195 t) (CR¹³R¹³)_rC(=NNR¹³R¹³)(CR¹³R¹³)_tR¹⁶,

- 196 u) $(\text{CR}^{13}\text{R}^{13})_r\text{C}(=\text{NNR}^{13}\text{C}(\text{O})\text{R}^{13})(\text{CR}^{13}\text{R}^{13})_t\text{R}^{16}$,
 197 v) $(\text{CR}^{13}\text{R}^{13})_r\text{C}(=\text{NOR}^{16})(\text{CR}^{13}\text{R}^{13})_t\text{R}^{16}$,
 198 w) $(\text{CR}^{13}\text{R}^{13})_r\text{NR}^{13}\text{C}(\text{O})\text{O}(\text{CR}^{13}\text{R}^{13})_t\text{R}^{16}$,
 199 x) $(\text{CR}^{13}\text{R}^{13})_r\text{OC}(\text{O})\text{NR}^{13}(\text{CR}^{13}\text{R}^{13})_t\text{R}^{16}$,
 200 y) $(\text{CR}^{13}\text{R}^{13})_r\text{NR}^{13}\text{C}(\text{O})\text{NR}^{13}(\text{CR}^{13}\text{R}^{13})_t\text{R}^{16}$,
 201 z) $(\text{CR}^{13}\text{R}^{13})_r\text{NR}^{13}\text{S}(\text{O})_p(\text{CR}^{13}\text{R}^{13})_t\text{R}^{16}$, aa) $(\text{CR}^{13}\text{R}^{13})_r\text{S}(\text{O})_p\text{NR}^{13}(\text{CR}^{13}\text{R}^{13})_t\text{R}^{16}$,
 202 bb) $(\text{CR}^{13}\text{R}^{13})_r\text{NR}^{13}\text{S}(\text{O})_p\text{NR}^{13}(\text{CR}^{13}\text{R}^{13})_t\text{R}^{16}$, cc) $(\text{CR}^{13}\text{R}^{13})_r\text{NR}^{13}\text{R}^{13}$,
 203 dd) C₁₋₆ alkyl, ee) C₂₋₆ alkenyl, ff) C₂₋₆ alkynyl, gg) $(\text{CR}^{13}\text{R}^{13})_r\text{-C}_{3-10}$ saturated,
 204 unsaturated, or aromatic carbocycle, and hh) $(\text{CR}^{13}\text{R}^{13})_r\text{-3-10}$ membered
 205 saturated, unsaturated, or aromatic heterocycle containing one or more
 206 heteroatoms selected from the group consisting of nitrogen, oxygen, and sulfur,
 207 wherein any of dd) – hh) optionally is substituted with one or more R¹⁶
 208 groups;
 209 alternatively, two R¹⁴ groups may form -O(CH₂)_sO-;
 210 R¹⁵ is selected from the group consisting of:
 211 a) H, b) C₁₋₆ alkyl, c) C₂₋₆ alkenyl, d) C₂₋₆ alkynyl, e) C₃₋₁₀ saturated,
 212 unsaturated, or aromatic carbocycle, f) 3-10 membered saturated, unsaturated, or
 213 aromatic heterocycle containing one or more heteroatoms selected from the group
 214 consisting of nitrogen, oxygen, and sulfur, g) -C(O)-C₁₋₆ alkyl,
 215 h) -C(O)-C₁₋₆ alkenyl, g) -C(O)-C₁₋₆ alkynyl, i) -C(O)-C₃₋₁₀ saturated,
 216 unsaturated, or aromatic carbocycle, and j) -C(O)-3-10 membered saturated,
 217 unsaturated, or aromatic heterocycle containing one or more heteroatoms selected
 218 from the group consisting of nitrogen, oxygen, and sulfur,
 219 wherein any of b) – j) optionally is substituted with one or more moieties
 220 selected from the group consisting of H; F; Cl; Br; I; CN; NO₂; OH; NH₂;
 221 NH(C₁₋₆ alkyl); N(C₁₋₆ alkyl)₂; C₁₋₆ alkoxy; aryl; substituted aryl;
 222 heteroaryl; substituted heteroaryl; and C₁₋₆ alkyl, optionally substituted
 223 with one or more moieties selected from the group consisting of aryl,
 224 substituted aryl, heteroaryl, substituted heteroaryl, F, Cl, Br, I, CN, NO₂,
 225 and OH;

226 R^{16} , at each occurrence, independently is selected from the group consisting of:
 227 a) R^{17} , b) C₁₋₆ alkyl, c) C₂₋₆ alkenyl, d) C₂₋₆ alkynyl, e) -C₃₋₁₀ saturated,
 228 unsaturated, or aromatic carbocycle, and f) -3-10 membered saturated,
 229 unsaturated, or aromatic heterocycle containing one or more heteroatoms selected
 230 from the group consisting of nitrogen, oxygen, and sulfur,
 231 wherein any of b) – f) optionally is substituted with one or more R^{17}
 232 groups;

233 R^{17} , at each occurrence, independently is selected from the group consisting of:
 234 a) H, b) carbonyl, c) F, d) Cl, e) Br, f) I, g) $(CR^{13}R^{13})_rCF_3$, h) $(CR^{13}R^{13})_rCN$,
 235 i) $(CR^{13}R^{13})_rNO_2$, j) $(CR^{13}R^{13})_r(CR^{13}R^{13})$, k) $(CR^{13}R^{13})_rOR^{11}$,
 236 l) $(CR^{13}R^{13})_rS(O)_pR^{13}$, m) $(CR^{13}R^{13})_rC(O)R^{13}$, n) $(CR^{13}R^{13})_rC(O)OR^{13}$,
 237 o) $(CR^{13}R^{13})_rOC(O)R^{13}$, p) $(CR^{13}R^{13})_rNR^{13}C(O)R^{13}$,
 238 q) $(CR^{13}R^{13})_rC(O)NR^{13}R^{13}$, r) $(CR^{13}R^{13})_rC(=NR^{13})R^{13}$,
 239 s) $(CR^{13}R^{13})_rNR^{13}C(O)NR^{13}R^{13}$, t) $(CR^{13}R^{13})_rNR^{13}S(O)_pR^{13}$,
 240 u) $(CR^{13}R^{13})_rS(O)_pNR^{13}R^{13}$, v) $(CR^{13}R^{13})_rNR^{13}S(O)_pNR^{13}R^{13}$, w) C₁₋₆ alkyl,
 241 x) C₂₋₆ alkenyl, y) C₂₋₆ alkynyl, z) $(CR^{13}R^{13})_r$ -C₃₋₁₀ saturated, unsaturated, or
 242 aromatic carbocycle, and aa) $(CR^{13}R^{13})_r$ -3-10 membered saturated, unsaturated,
 243 or aromatic heterocycle containing one or more heteroatoms selected from the
 244 group consisting of nitrogen, oxygen, and sulfur,
 245 wherein any of w) – aa) optionally is substituted with one or more
 246 moieties selected from the group consisting of R^{13} ; F; Cl; Br; I; CN; NO₂;
 247 -OR¹³; -NH₂; -NH(C₁₋₆ alkyl); -N(C₁₋₆ alkyl)₂; C₁₋₆ alkoxy; C₁₋₆ alkylthio;
 248 and C₁₋₆ acyl;

249 R^{18} , at each occurrence, independently is selected from the group consisting of:
 250 a) H, b) OR¹⁵, c) -O-C₁₋₆ alkyl-OC(O)R¹⁵, d) -O-C₁₋₆ alkyl-OC(O)OR¹⁵,
 251 e) -O-C₁₋₆ alkyl-OC(O)NR¹⁵R¹⁵, f) -O-C₁₋₆ alkyl-C(O)NR¹⁵R¹⁵,
 252 g) -O-C₁₋₆ alkyl-NR¹⁵C(O)R¹⁵, h) -O-C₁₋₆ alkyl-NR¹⁵C(O)OR¹⁵,
 253 i) -O-C₁₋₆ alkyl-NR¹⁵C(O)NR¹⁵R¹⁵, j) -O-C₁₋₆ alkyl-NR¹⁵C(=NH)NR¹⁵R¹⁵,
 254 k) -O-C₁₋₆ alkyl-S(O)_pR¹⁵, l) -O-C₂₋₆ alkenyl-OC(O)R¹⁵,
 255 m) -O-C₂₋₆ alkenyl-OC(O)OR¹⁵, n) -O-C₂₋₆ alkenyl-OC(O)NR¹⁵R¹⁵,

256 o) -O-C₂₋₆ alkenyl-C(O)NR¹⁵R¹⁵, p) -O-C₂₋₆ alkenyl-NR¹⁵C(O)R¹⁵,
257 q) -O-C₂₋₆ alkenyl-NR¹⁵C(O)OR¹⁵, r) -O-C₂₋₆ alkenyl-NR¹⁵C(O)NR¹⁵R¹⁵,
258 s) -O-C₂₋₆ alkenyl-NR¹⁵C(=NH)NR¹⁵R¹⁵, t) -O-C₂₋₆ alkenyl-S(O)_pR¹⁵,
259 u) -O-C₂₋₆ alkynyl-OC(O)R¹⁵, v) -O-C₂₋₆ alkynyl-OC(O)OR¹⁵,
260 w) -O-C₂₋₆ alkynyl-OC(O)NR¹⁵R¹⁵, x) -O-C₂₋₆ alkynyl-C(O)NR¹⁵R¹⁵,
261 y) -O-C₂₋₆ alkynyl-NR¹⁵C(O)R¹⁵, z) -O-C₂₋₆ alkynyl-NR¹⁵C(O)OR¹⁵,
262 aa) -O-C₂₋₆ alkynyl-NR¹⁵C(O)NR¹⁵R¹⁵,
263 bb) -O-C₂₋₆ alkynyl-NR¹⁵C(=NH)NR¹⁵R¹⁵, cc) -O-C₂₋₆ alkynyl-S(O)_pR¹⁵; and
264 dd) -NR¹⁵R¹⁵;

265 alternatively, two R¹⁸ groups taken together form =O, =NOR¹⁵, or =NNR¹⁵R¹⁵,
266 R¹⁹ is R¹²;

267 R²⁰ is selected from the group consisting of:

268 a) R¹³, b) F, c) Cl, d) Br, e) I, f) CN, g) NO₂, and h) -OR¹¹;

269 alternatively, R¹⁹ and R²⁰ taken together are -O(CH₂)_nO-;

270 R²¹, at each occurrence, independently is selected from the group consisting of:

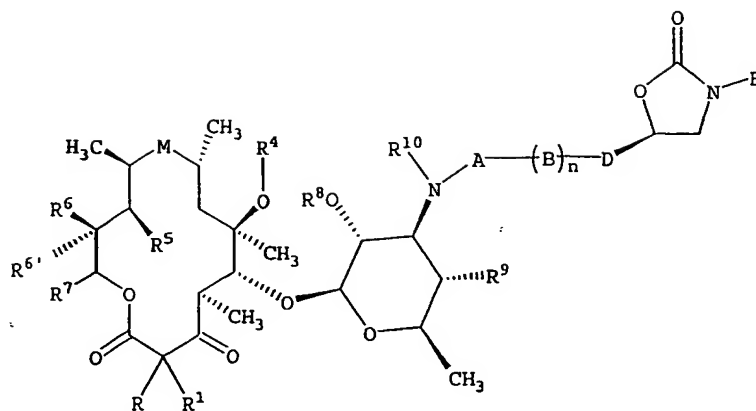
271 a) H, b) F, c) Cl, d) Br, e) I, f) CN, g) -OR¹¹, h) NO₂, i) -NR¹¹R¹¹, j) C₁₋₆ alkyl,
272 k) C₁₋₆ acyl, and l) C₁₋₆ alkoxy;

273 R²² is selected from the group consisting of:

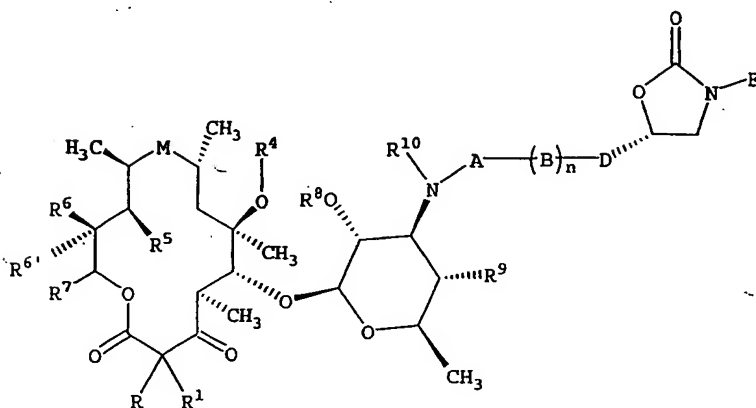
274 a) C₁₋₆ alkyl, b) C₂₋₆ alkenyl, c) C₂₋₆ alkynyl, d) C₁₋₆ acyl, e) C₁₋₆ alkoxy,
275 f) C₁₋₆ alkylthio, g) saturated, unsaturated, or aromatic C₅₋₁₀ carbocycle,
276 h) saturated, unsaturated, or aromatic 5-10 membered heterocycle containing one
277 or more heteroatoms selected from the group consisting of nitrogen, oxygen, and
278 sulfur, i) -O-C₁₋₆ alkyl-saturated, unsaturated, or aromatic 5-10 membered
279 heterocycle containing one or more heteroatoms selected from the group
280 consisting of nitrogen, oxygen, and sulfur, j) -NR¹¹-C₁₋₆ alkyl-saturated,
281 unsaturated, or aromatic 5-10 membered heterocycle containing one or more
282 heteroatoms selected from the group consisting of nitrogen, oxygen, and sulfur,
283 k) saturated, unsaturated, or aromatic 10-membered bicyclic ring system
284 optionally containing one or more heteroatoms selected from the group consisting
285 of nitrogen, oxygen, and sulfur, l) saturated, unsaturated, or aromatic 13-
286 membered tricyclic ring system optionally containing one or more heteroatoms

- 287 selected from the group consisting of nitrogen, oxygen, and sulfur, m) $-OR^{11}$,
288 n) $-NR^{11}R^{11}$, o) $S(O)_rR^{11}$, and p) R^{21} ,
289 wherein any of a) - l) optionally is substituted with one or more R^{12}
290 groups;
291 alternatively, R^{22} and one R^{21} group, taken together with the atoms to which they are
292 bonded, form a 5-7 membered saturated or unsaturated carbocycle, optionally substituted with
293 one or more R^{12} groups; or a 5-7 membered saturated or unsaturated heterocycle containing one
294 or more atoms selected from the group consisting of nitrogen, oxygen, and sulfur, and optionally
295 substituted with one or more R^{12} groups;
296 R^{23} at each occurrence, independently is selected from the group consisting of:
297 a) hydrogen; b) an electron-withdrawing group; c) aryl; d) substituted aryl;
298 e) heteroaryl; f) substituted heteroaryl; and g) C_{1-6} alkyl, optionally substituted
299 with one or more R^{12} groups;
300 alternatively, any R^{23} and any R^{20} , taken together with the atoms to which they are
301 bonded, form a 5-7 membered saturated or unsaturated carbocycle, optionally substituted with
302 one or more R^{12} groups; or a 5-7 membered saturated or unsaturated heterocycle containing one
303 or more atoms selected from the group consisting of nitrogen, oxygen, and sulfur, and optionally
304 substituted with one or more R^{12} groups;
305 p, at each occurrence, is selected from the group consisting of 0, 1, and 2;
306 r, at each occurrence, is selected from the group consisting of 0, 1, and 2;
307 s, at each occurrence, is selected from the group consisting of 1, 2, 3, or 4;
308 t, at each occurrence, is selected from the group consisting of 0, 1, or 2;
309 u, at each occurrence, is selected from the group consisting of 1, 2, 3, 4, or 5; and,
310 v, at each occurrence, is selected from the group consisting of 0, 1, 2, or 3.
- 1 2. A compound having the formula selected from the group consisting of:

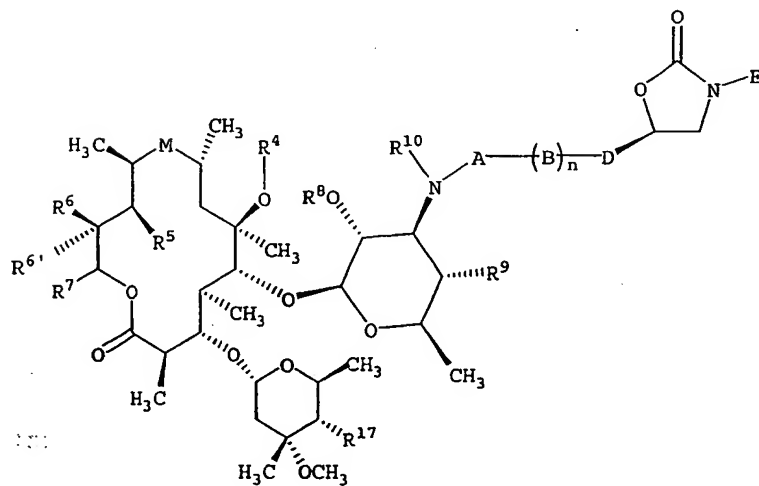
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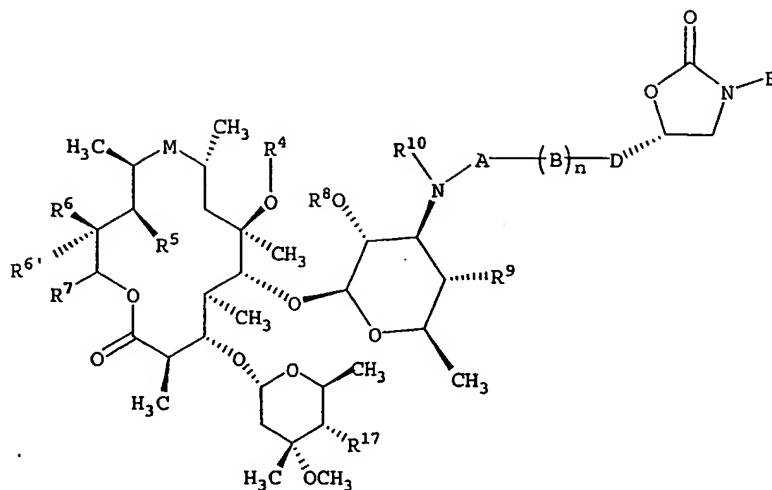
3



4



, and

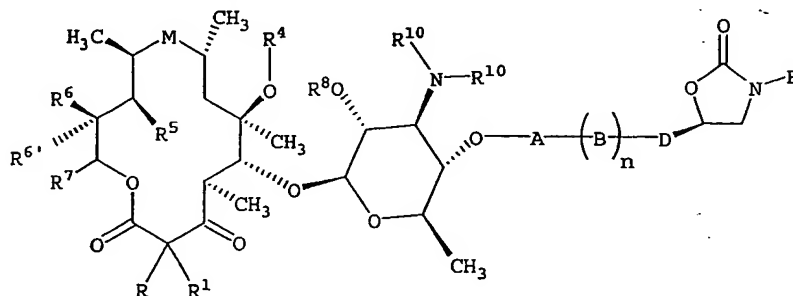


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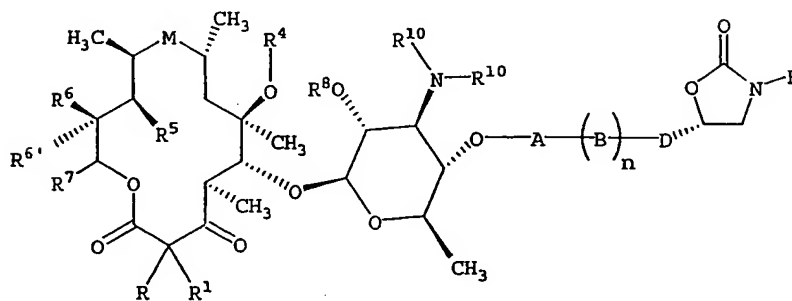
6 or a pharmaceutically acceptable salt, ester, or prodrug thereof,

7 wherein A, B, n, D, E, R, R¹, R⁴, R⁵, R⁶, R^{6'}, R⁷, R⁸, R⁹, and R¹⁰ are as defined in claim 1.

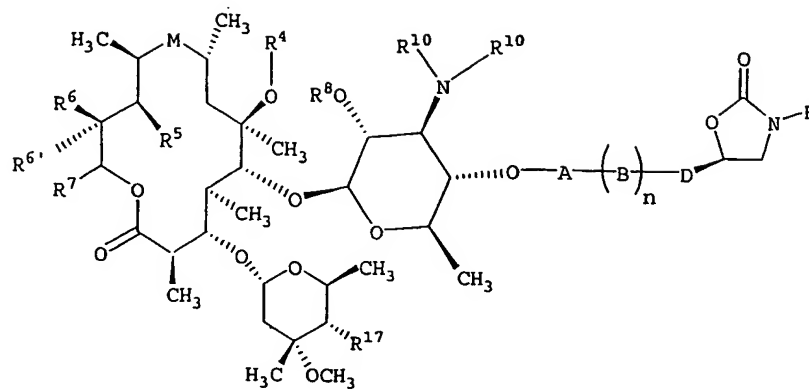
1 3. A compound having the formula selected from the group consisting of:



2

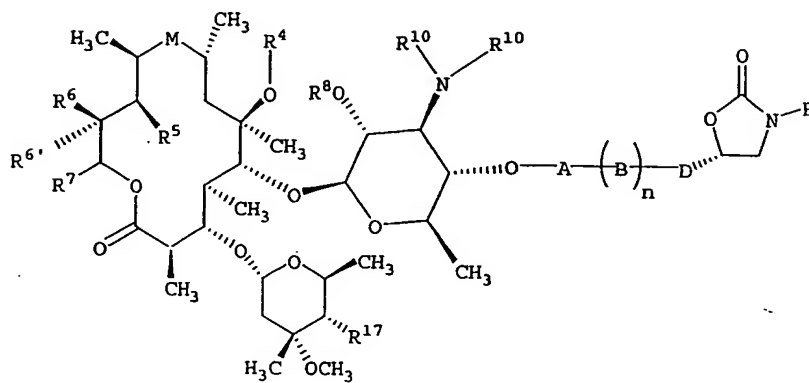


3



4

, and

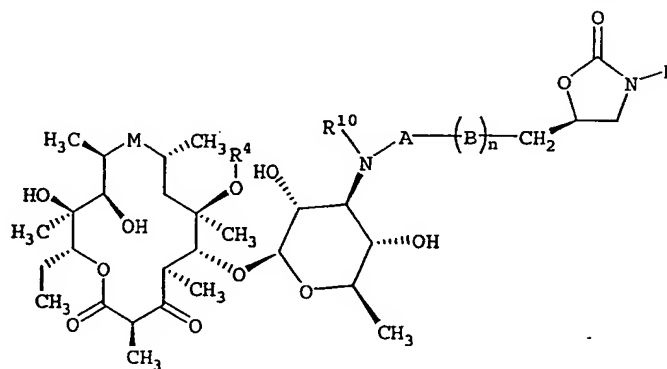


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6 or a pharmaceutically acceptable salt, ester, or prodrug thereof,

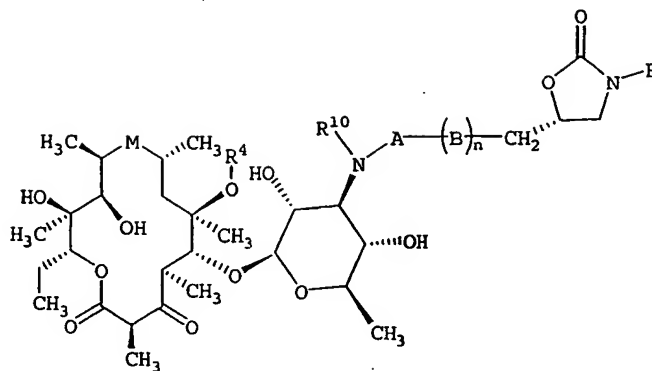
7 wherein A, B, n, D, E, R, R¹, R⁴, R⁵, R⁶, R^{6'}, R⁷, R⁸, R⁹, and R¹⁰ are as defined in claim 1.

1 4. A compound having the formula selected from the group consisting of:

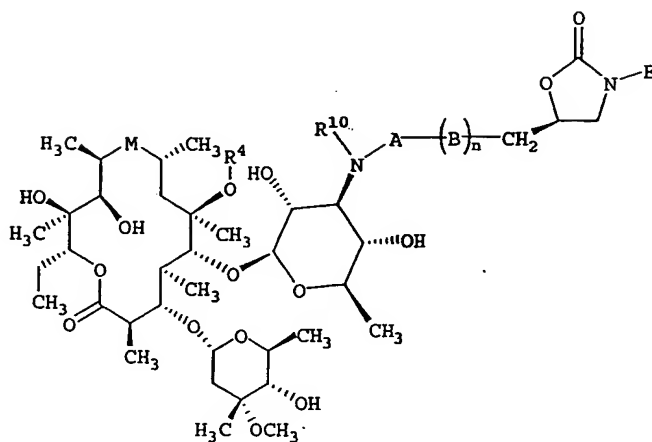


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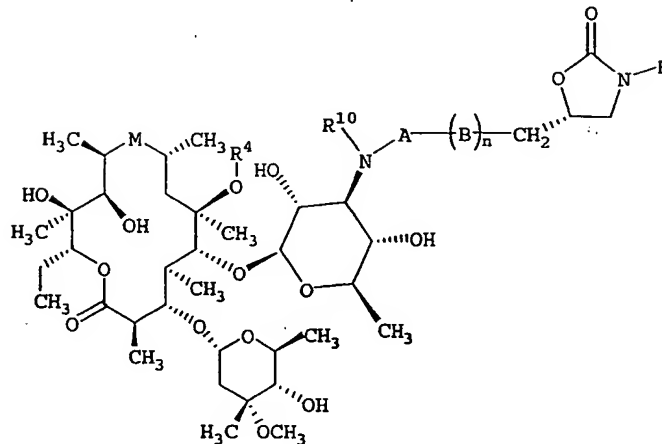
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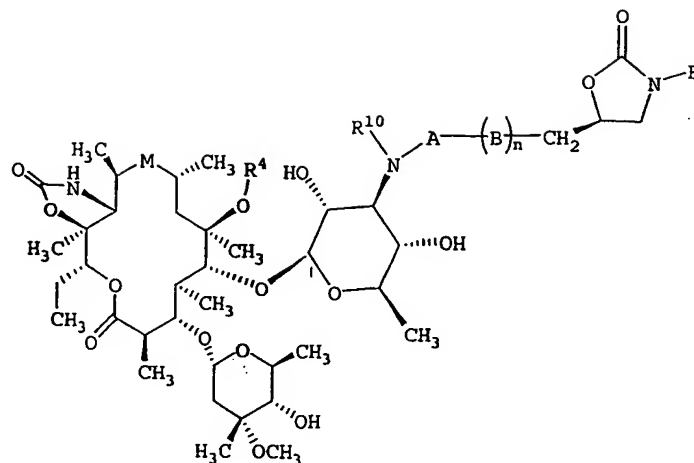


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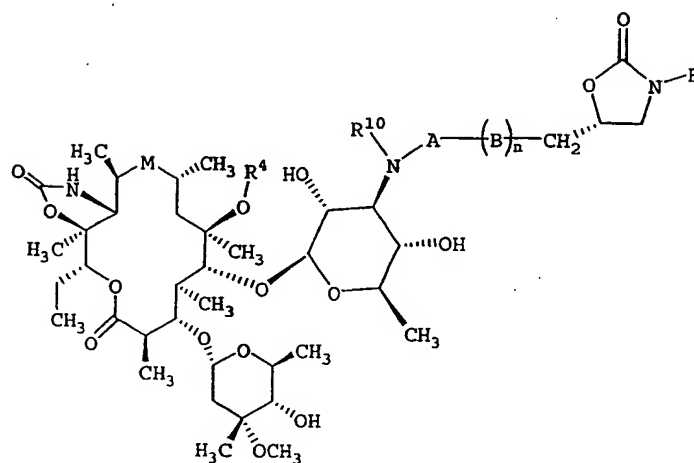
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, and

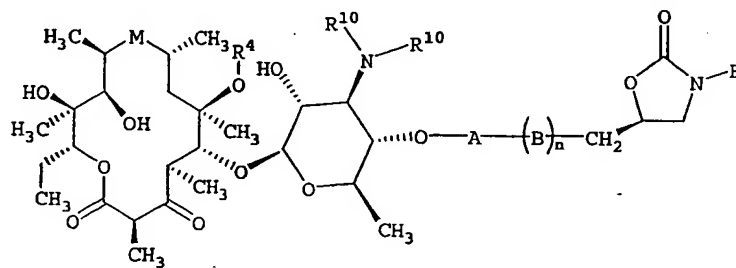


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8 or a pharmaceutically acceptable salt, ester, or prodrug thereof,

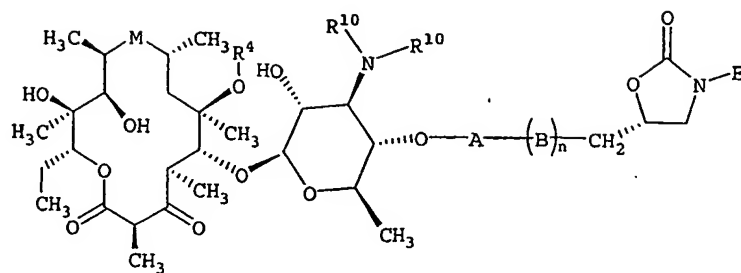
9 wherein A, B, n, E, R⁴, and R¹⁰ are as defined in claim 1.

1 5. A compound having the formula selected from the group consisting of:

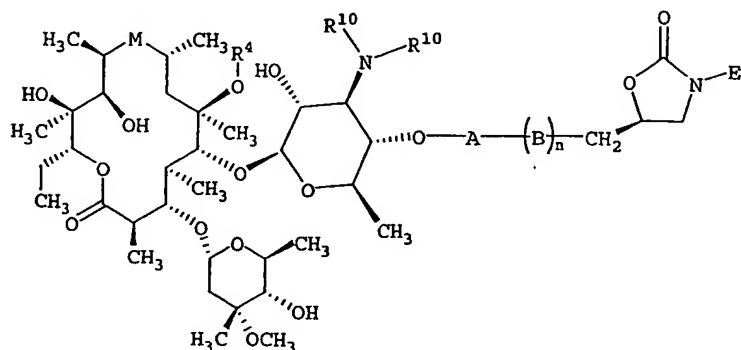


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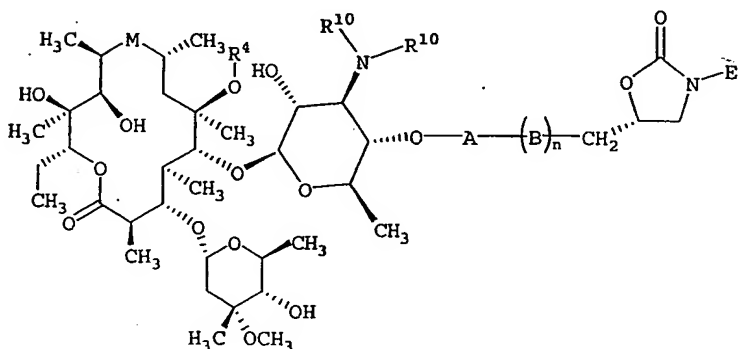
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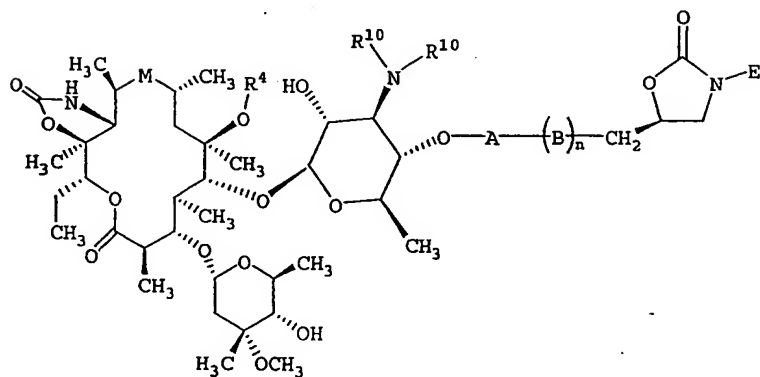
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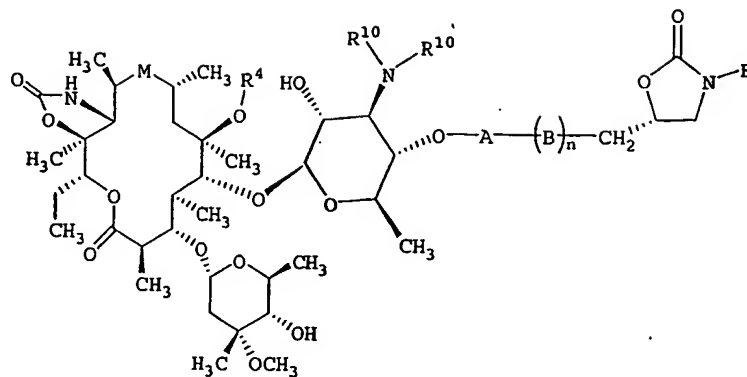
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, and

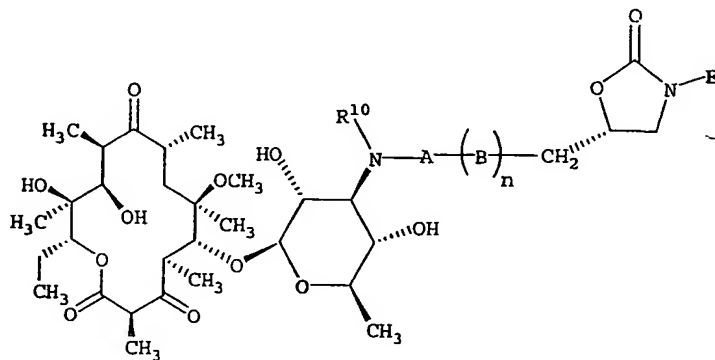


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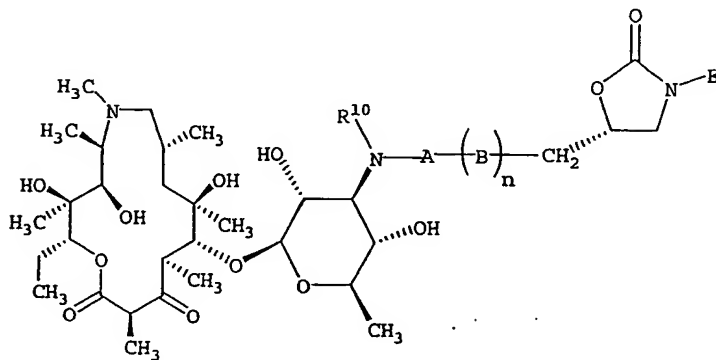
8 or a pharmaceutically acceptable salt, ester, or prodrug thereof,

9 wherein A, B, n, E, R^4 , and R^{10} are as defined in claim 1.

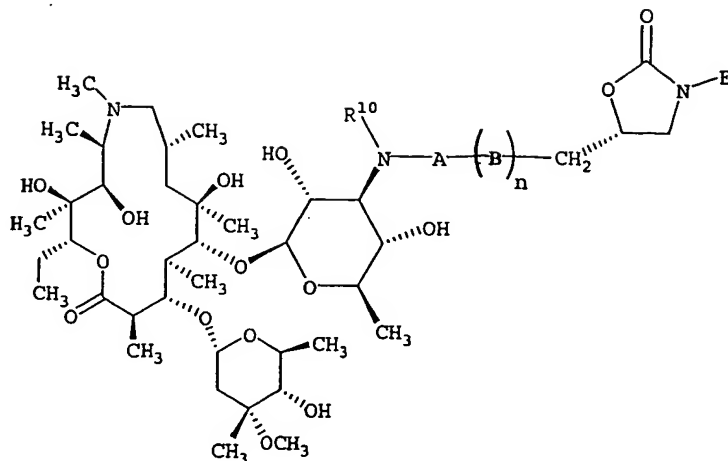
1 6. A compound having the formula selected from the group consisting of:



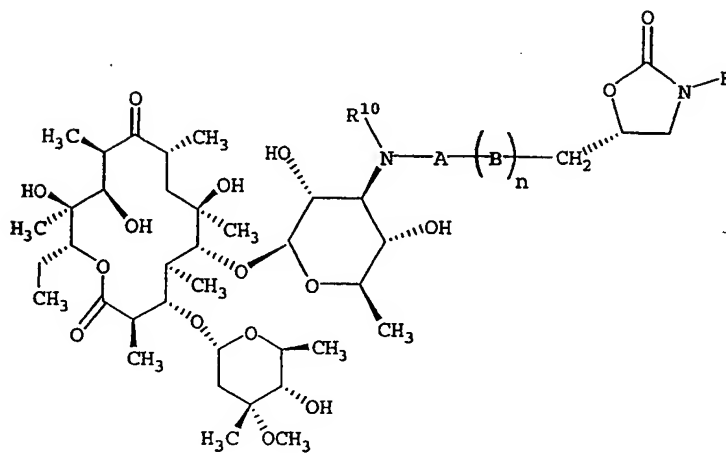
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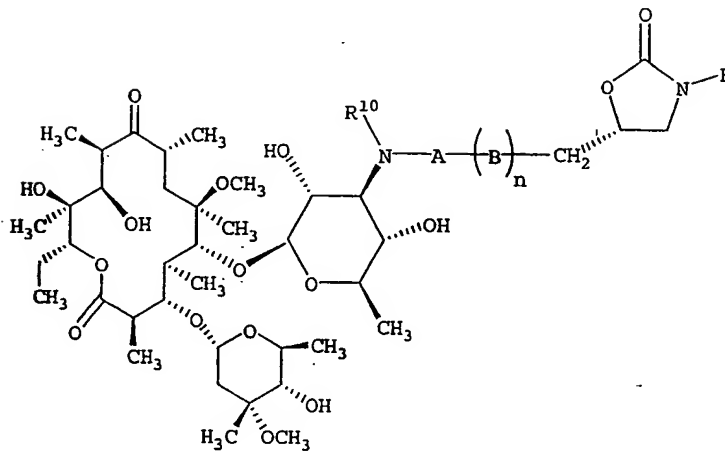
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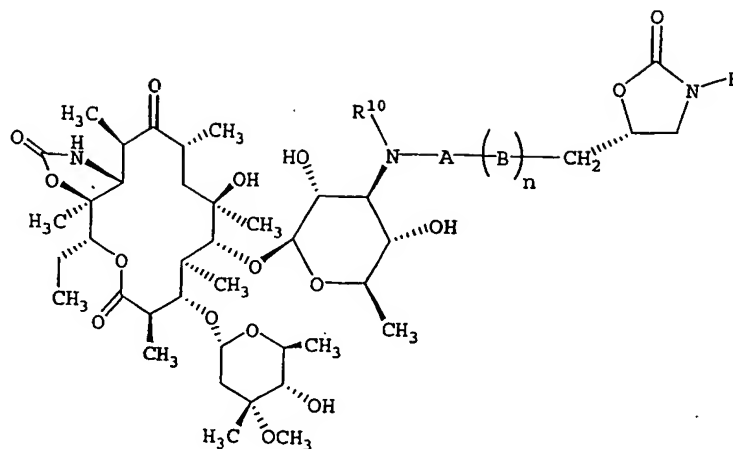


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, and

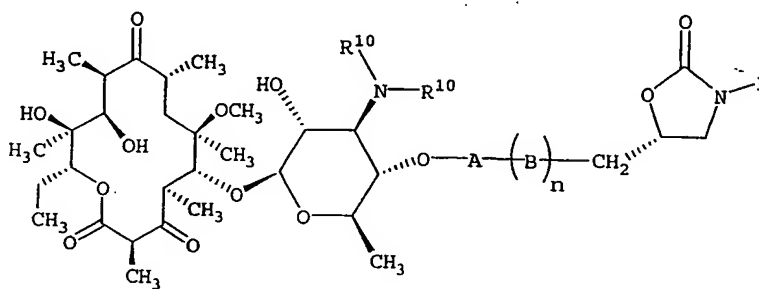


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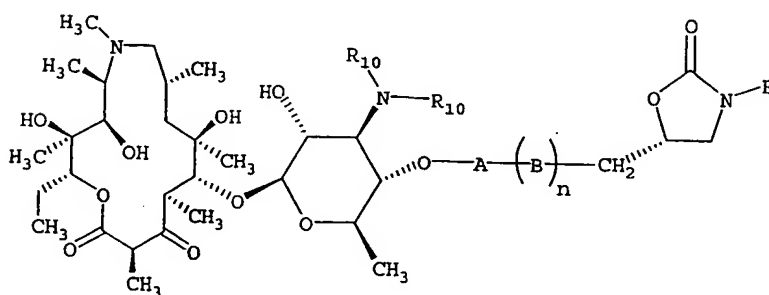
8 or a pharmaceutically acceptable salt, ester, or prodrug thereof,

9 wherein A, B, n, E, and R¹⁰ are as defined in claim 1.

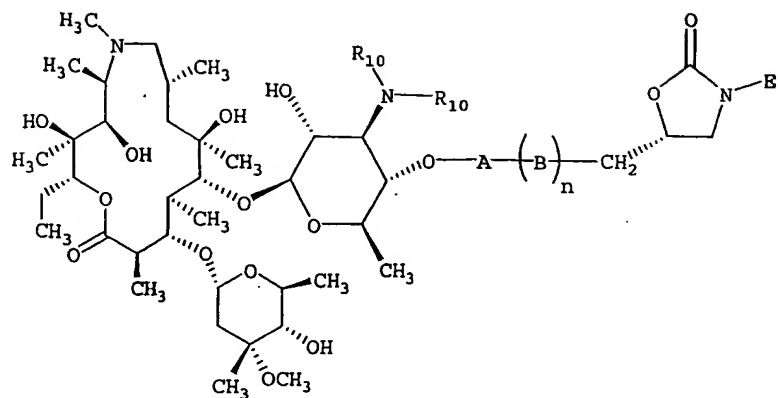
1 7. A compound having the formula selected from the group consisting of:



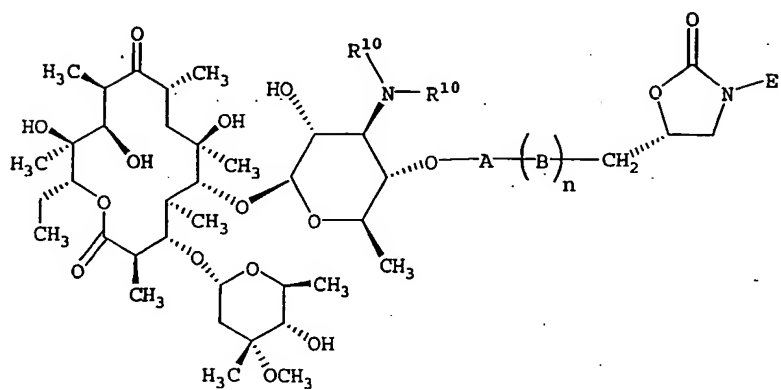
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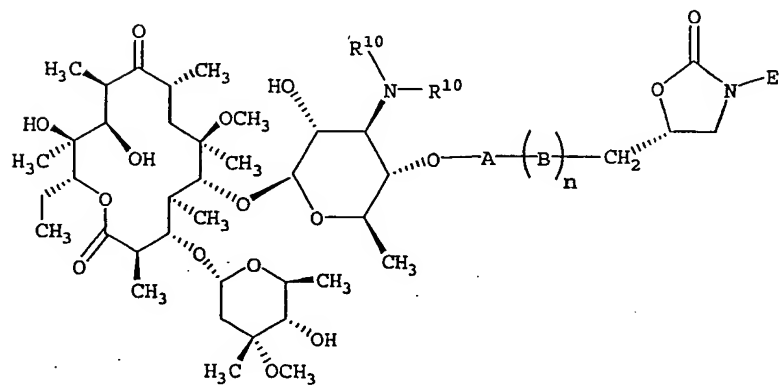
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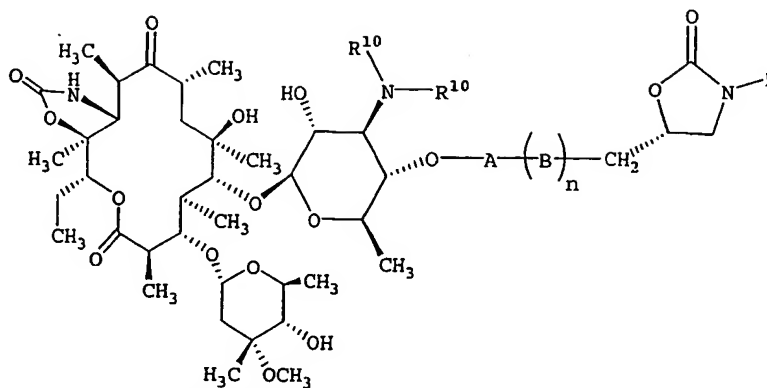


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6

, and



7
8 or a pharmaceutically acceptable salt, ester, or prodrug thereof,
9 wherein A, B, n, E, and R¹⁰ are as defined in claim 1.

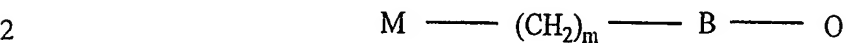
1 8. The compound according to any of claims 1-7, wherein n is 1.

1 9. The compound according to any of claims 1-8, wherein A-(B)_n-D is:
2 A-C(O)NH-D.

1 10. The compound according to any of claims 1-8, wherein A-(B)_n-D is:
2 A-SO₂NH-D.

1 11. The compound according to any of claims 1-8, wherein A-(B)_n-D is:
2 A-C(S)NH-D.

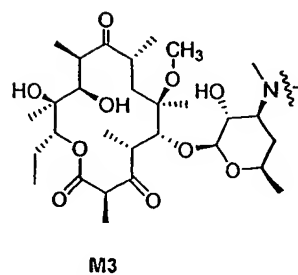
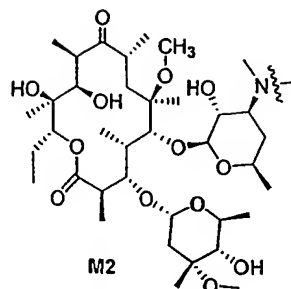
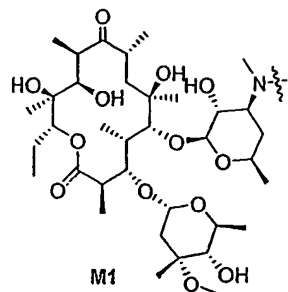
1 12. A compound having the formula



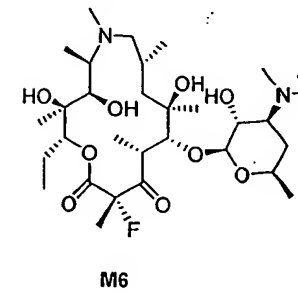
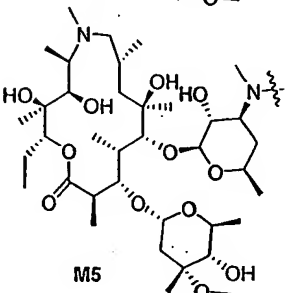
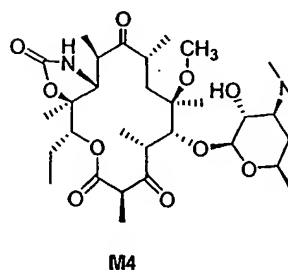
3 or a pharmaceutically acceptable salt, ester, or prodrug thereof,

4 wherein M is a macrolide selected from the group consisting of

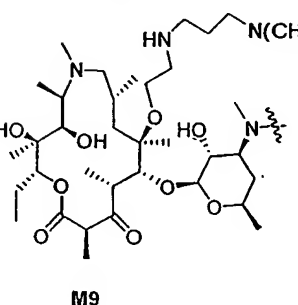
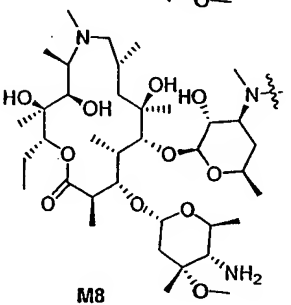
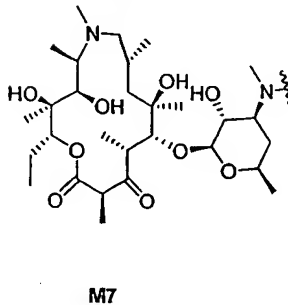
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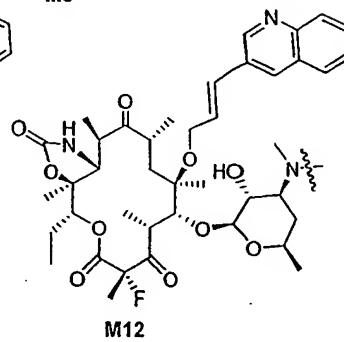
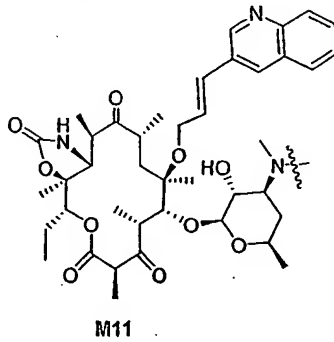
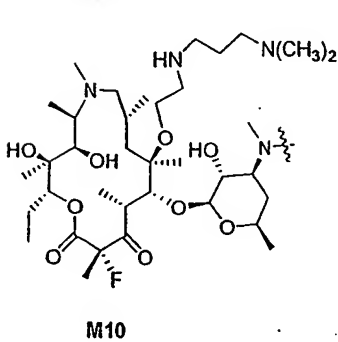
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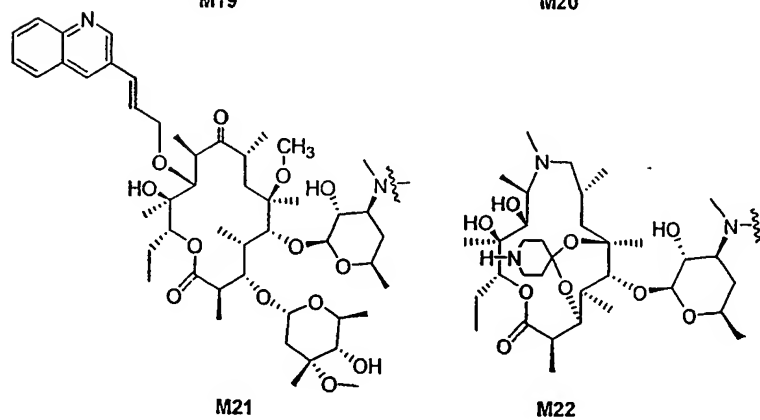
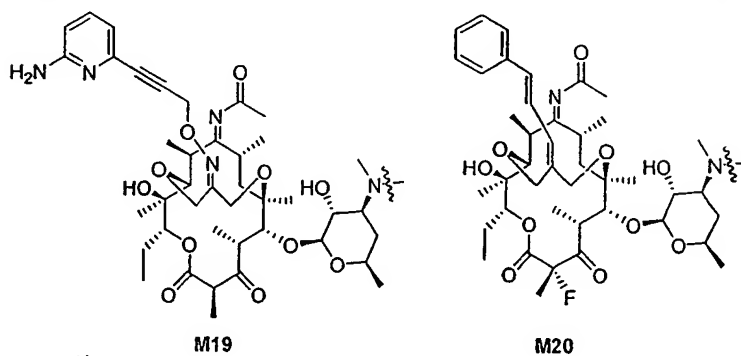
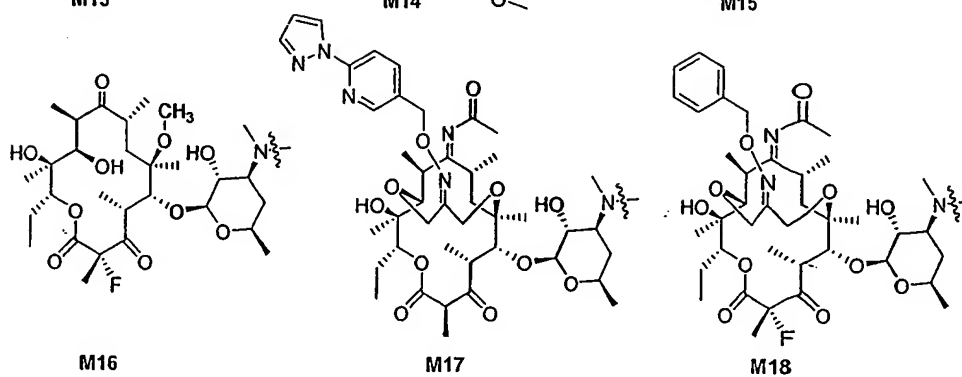
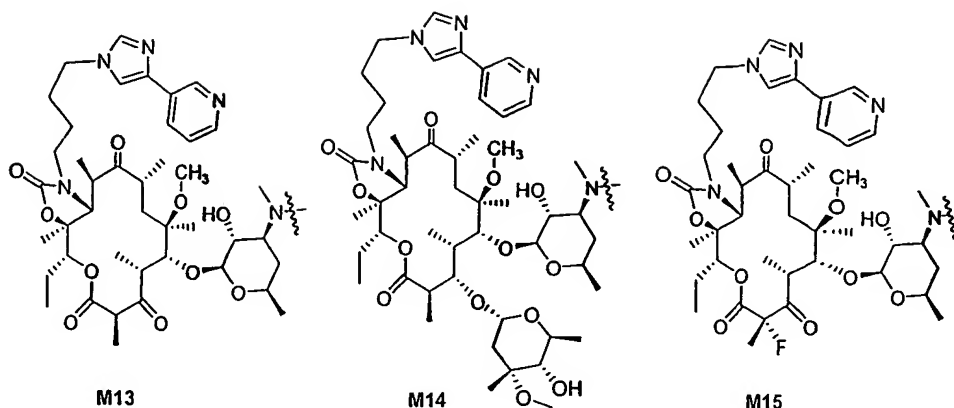
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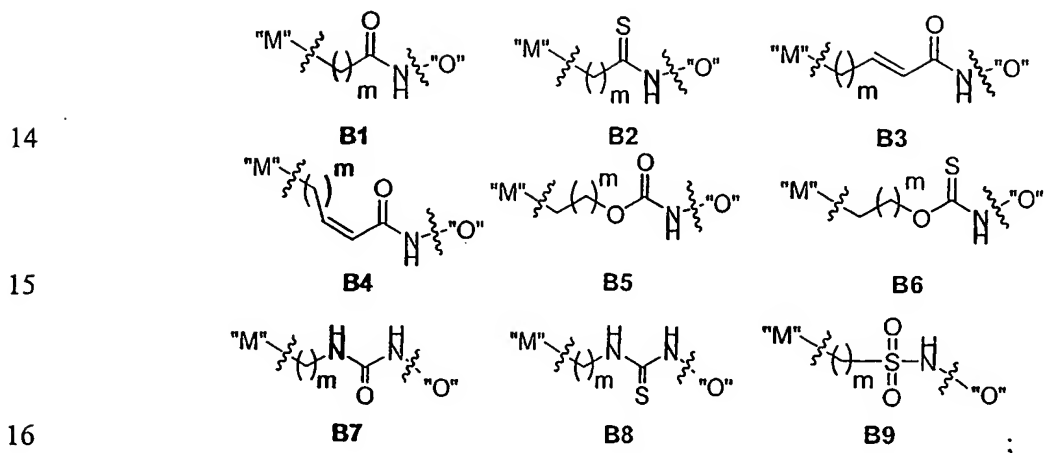


- 93 -

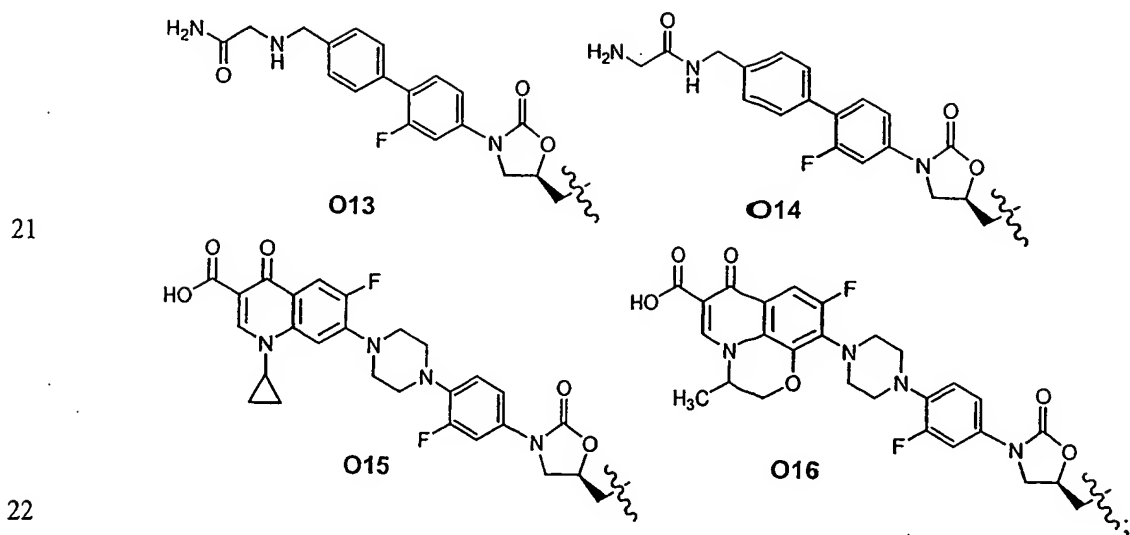


B is a linker selected from the group consisting of

- 94 -

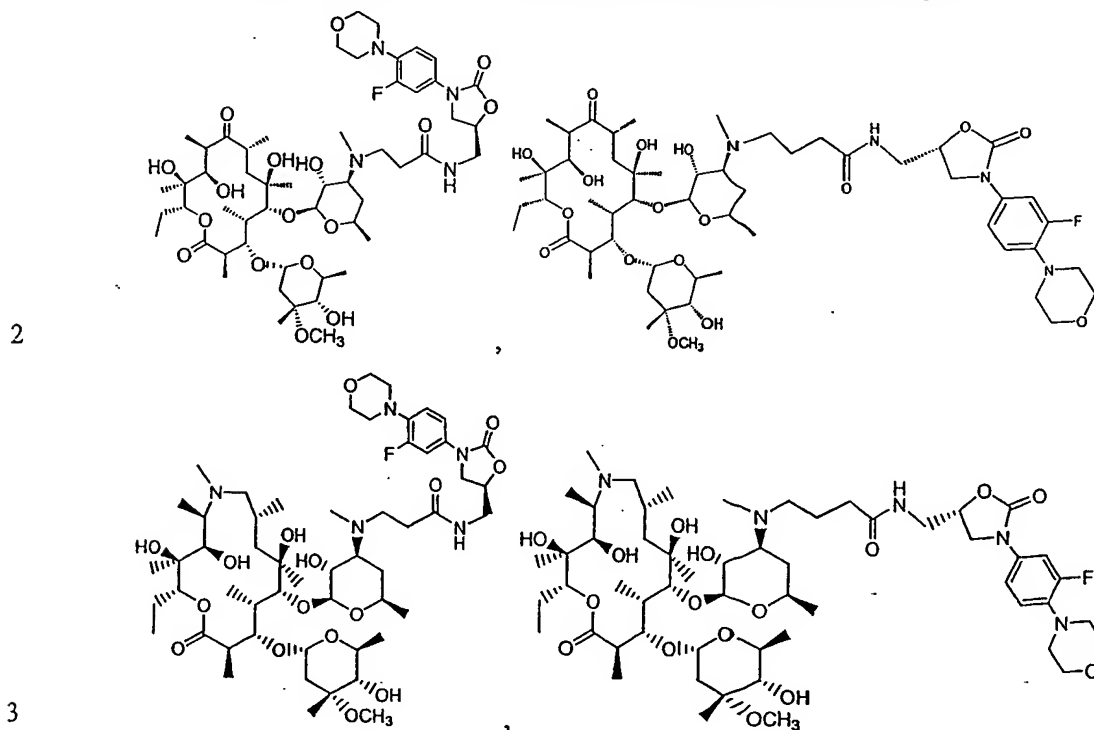


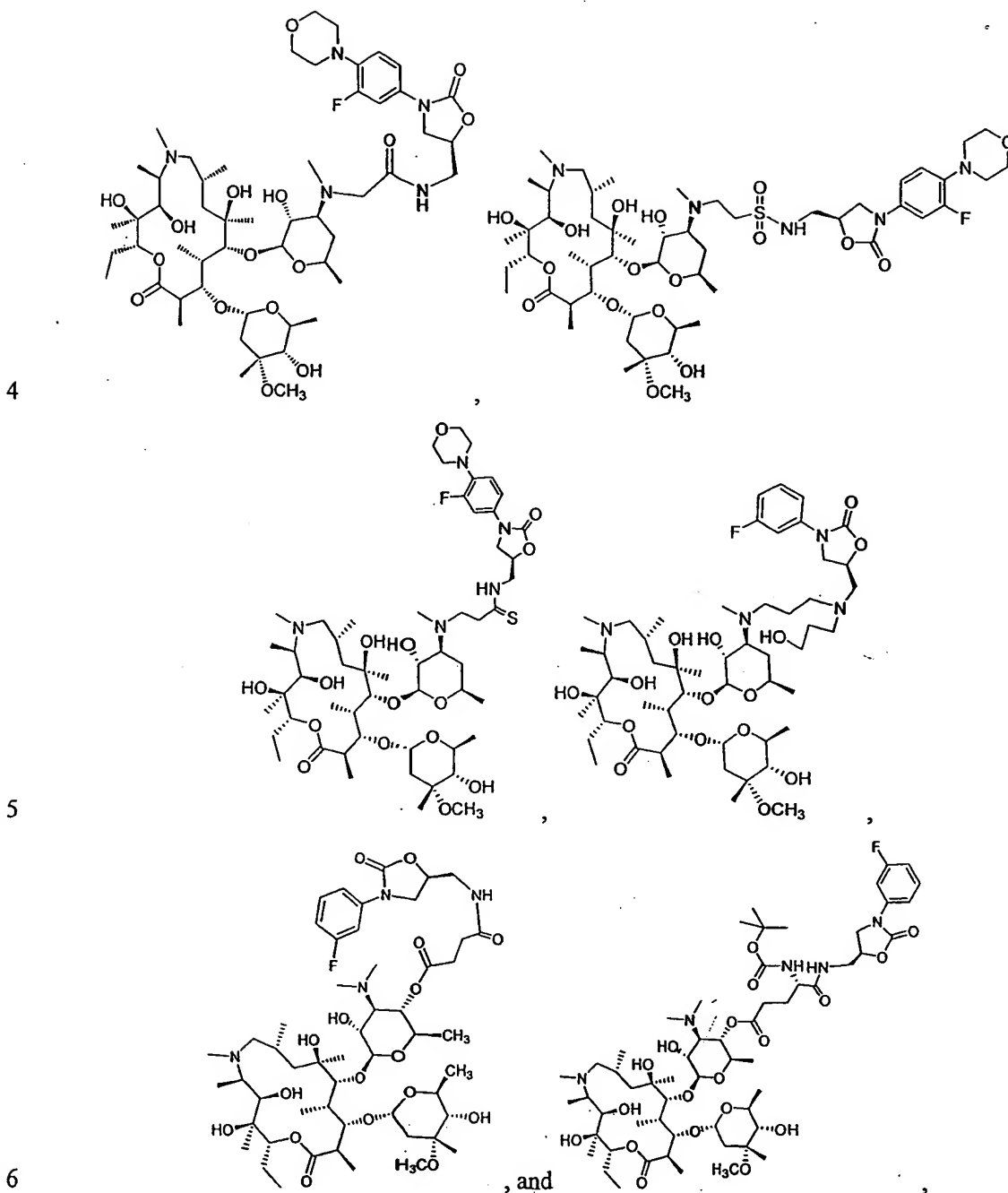
- 95 -



23 and m is an integer from 1-4.

1 13. A compound having the formula selected from the group consisting of:





7 or a pharmaceutically acceptable salt, ester, or prodrug thereof.

1 14. A pharmaceutical composition comprising a compound according to any one of claims
2 1-13 and a pharmaceutically acceptable carrier.

1 15. A method of treating a microbial infection in a mammal comprising administering to the
2 mammal an effective amount of a compound according to any one of claims 1-13.

- 1 16. A method of treating a fungal infection in a mammal comprising administering to the
2 mammal an effective amount of a compound according to any one of claims 1-13.
- 1 17. A method of treating a parasitic disease in a mammal comprising administering to the
2 mammal an effective amount of a compound according to any one of claims 1-13.
- 1 18. A method of treating a proliferative disease in a mammal comprising administering to the
2 mammal an effective amount of a compound according to any one of claims 1-13.
- 1 19. A method of treating a viral infection in a mammal comprising administering to the
2 mammal an effective amount of a compound according to any one of claims 1-13.
- 1 20. A method of treating an inflammatory disease in a mammal comprising administering to
2 the mammal an effective amount of a compound according to any one of claims 1-13.
- 1 21. A method of treating a gastrointestinal motility disorder in a mammal comprising
2 administering to the mammal an effective amount of a compound according to any one of claims
3 1-13.
- 1 22. The method according to any one of claims 15-21 wherein the compound is administered
2 orally, parentally, or topically.
- 1 23. A method of synthesizing a compound according to any of claims 1-13.
- 1 24. A medical device containing a compound according to any one of claims 1-13.
- 1 25. The medical device according to claim 24, wherein the device is a stent.